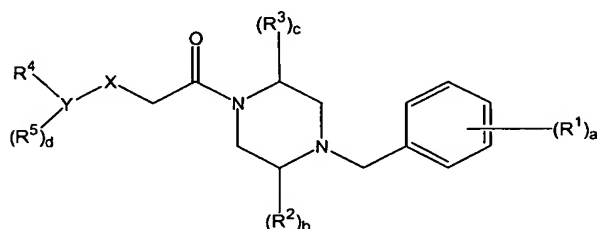


What is claimed is:

1. A method of treating or preventing a disorder or condition selected from the group consisting of fibrosis, Alzheimer's disease, conditions associated with leptin production, sequelae associated with cancer, cancer metastasis, diseases or conditions related to production of cytokines at inflammatory sites, and tissue damage caused by inflammation induced by infectious agents; wherein the method comprises administering to a mammal in need of such treatment or prevention a pharmaceutically effective amount of a compound of formula (I)



or a pharmaceutically acceptable form thereof; wherein

a is 0, 1, 2, 3, 4, or 5;

b is 0, 1, or 2;

c is 0, 1, or 2;

d is 0, 1, 2, 3, or 4;

X is -O-, -S-, -CH<sub>2</sub>-, or -NR<sup>6</sup>-;

Y is (C<sub>6</sub>-C<sub>10</sub>)aryl or (C<sub>2</sub>-C<sub>9</sub>)heteroaryl;

- each R<sup>1</sup> is independently H-, HO-, halo-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, HO-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, NC-, H<sub>2</sub>N-, H<sub>2</sub>N-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, H<sub>2</sub>N-(C=O)-, or H<sub>2</sub>N-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-;

- each R<sup>2</sup> and R<sup>3</sup> are independently H-, oxo, (C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)aryl-, (C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, H<sub>2</sub>N-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, [(C<sub>1</sub>-C<sub>8</sub>)alkyl]<sub>2</sub>N-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>2</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C=O)-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, H<sub>2</sub>N-(C=O)-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>2</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, H<sub>2</sub>N-(C=O)-, or H<sub>2</sub>N-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-;

- R<sup>4</sup> is [HO-(C=O)-][H<sub>2</sub>N-](C<sub>1</sub>-C<sub>8</sub>)alkyl-, [HO-(C=O)-][(C<sub>1</sub>-C<sub>8</sub>)alkyl]NH-[(C<sub>1</sub>-C<sub>8</sub>)alkyl-], [HO-(C=O)-][((C<sub>1</sub>-C<sub>8</sub>)alkyl)<sub>2</sub>N-](C<sub>1</sub>-C<sub>8</sub>)alkyl-, [HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl][(C<sub>1</sub>-C<sub>8</sub>)alkyl-],

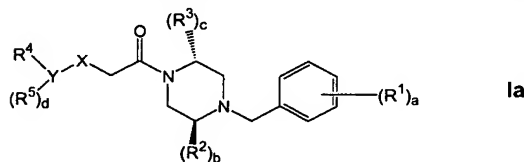
$C_8$ alkyl]N-, [HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl][(C<sub>1</sub>-C<sub>8</sub>)alkyl]N-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, [HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl][(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>]N-, [HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl][(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>]N-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, [HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl][(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-]N-, [HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl][(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C=O)-]N-, [HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl][(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C=O)-]N-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, [HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl][(C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-(C=O)-]N-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-N=(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-SO<sub>2</sub>-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C=O)-NH-SO<sub>2</sub>-, HO-(C=O)-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-(C=O)-NH-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-(C=O)-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl substituted with hydroxy, HO-(C=O)-(C<sub>2</sub>-C<sub>8</sub>)alkenyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-O-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-O-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-S-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-S-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-S-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-S-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-SO<sub>2</sub>-NH-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-NH-SO<sub>2</sub>-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C=O)-, HO-(C=O)-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C=O)-, HO-(C=O)-(C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C=O)-, NC-NH-(C=O)-, NC-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl, [(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-][H<sub>2</sub>N-](C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, [(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl][(C<sub>1</sub>-C<sub>8</sub>)alkyl]N-, [(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl][(C<sub>1</sub>-C<sub>8</sub>)alkyl]N-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-SO<sub>2</sub>-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-

- SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C=O)-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, NC-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-, NC-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-, HO-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>2</sub>-C<sub>8</sub>)alkenyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-SO<sub>2</sub>-NH-(C=O)-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)aryl-SO<sub>2</sub>-NH-(C=O)-, (C<sub>6</sub>-C<sub>10</sub>)aryl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-SO<sub>2</sub>-NH-(C=O)-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, H<sub>2</sub>N-SO<sub>2</sub>-NH-(C=O)-, H<sub>2</sub>N-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-SO<sub>2</sub>-NH-(C=O)-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, [(C<sub>1</sub>-C<sub>8</sub>)alkyl]<sub>2</sub>N-SO<sub>2</sub>-NH-(C=O)-, [(C<sub>1</sub>-C<sub>8</sub>)alkyl]<sub>2</sub>N-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, H<sub>2</sub>N-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, NC-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)aryl-(C=O)-NH-SO<sub>2</sub>-, (C<sub>6</sub>-C<sub>10</sub>)aryl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C=O)-NH-SO<sub>2</sub>-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C=O)-NH-SO<sub>2</sub>-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, H<sub>2</sub>N-(C=O)-NH-SO<sub>2</sub>-, H<sub>2</sub>N-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, [(C<sub>1</sub>-C<sub>8</sub>)alkyl]<sub>2</sub>N-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)aryl-NH-(C=O)-NH-SO<sub>2</sub>-, (C<sub>6</sub>-C<sub>10</sub>)aryl-NH-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-NH-(C=O)-NH-SO<sub>2</sub>-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-NH-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C=O)-NH-SO<sub>2</sub>-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)aryloxy-(C=O)-NH-SO<sub>2</sub>-, (C<sub>6</sub>-C<sub>10</sub>)aryloxy-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-O-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)aryl-SO<sub>2</sub>-NH-(C=O)-O-, (C<sub>6</sub>-C<sub>10</sub>)aryl-SO<sub>2</sub>-NH-(C=O)-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)aryl-SO<sub>2</sub>-NH-(C=O)-NH-, (C<sub>6</sub>-C<sub>10</sub>)aryl-SO<sub>2</sub>-NH-(C=O)-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-SO<sub>2</sub>-NH-(C=O)-O-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-SO<sub>2</sub>-NH-(C=O)-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, NH<sub>2</sub>-SO<sub>2</sub>-NH-(C=O)-O-, NH<sub>2</sub>-SO<sub>2</sub>-NH-(C=O)-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-SO<sub>2</sub>-NH-(C=O)-NH-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-SO<sub>2</sub>-NH-(C=O)-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, NH<sub>2</sub>-SO<sub>2</sub>-NH-(C=O)-NH-, NH<sub>2</sub>-SO<sub>2</sub>-NH-(C=O)-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-(C=O)-O-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-(C=O)-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C=O)-NH-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C=O)-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-

- NH-SO<sub>2</sub>-NH-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-NH-SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl-(C=O)-NH-SO<sub>2</sub>-NH-, (C<sub>6</sub>-C<sub>10</sub>)aryl-(C=O)-NH-SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C=O)-NH-SO<sub>2</sub>-NH-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C=O)-NH-SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl, NH<sub>2</sub>-(C=O)-NH-SO<sub>2</sub>-NH-, NH<sub>2</sub>-(C=O)-NH-SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, or (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl;
- or, if Y is a (C<sub>2</sub>-C<sub>9</sub>)heteroaryl group, then R<sup>4</sup> can also be HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>2</sub>-C<sub>9</sub>)heteroaryl-, (C<sub>2</sub>-C<sub>9</sub>)heterocyclyl-, (C<sub>2</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl, or (C<sub>2</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl ;
- each R<sup>5</sup> is independently H-, HO-, halo-, NC-, HO-(C=O)-, H<sub>2</sub>N-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-, [(C<sub>1</sub>-C<sub>8</sub>)alkyl]<sub>2</sub>N-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, HO-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, H<sub>2</sub>N-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, [(C<sub>1</sub>-C<sub>8</sub>)alkyl]<sub>2</sub>N-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)aryl-, (C<sub>2</sub>-C<sub>9</sub>)heteroaryl-, (C<sub>6</sub>-C<sub>10</sub>)aryloxy-, H<sub>2</sub>N-(C=O)-, H<sub>2</sub>N-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-(C=O)-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, [(C<sub>1</sub>-C<sub>8</sub>)alkyl]<sub>2</sub>N-(C=O)-, [(C<sub>1</sub>-C<sub>8</sub>)alkyl]<sub>2</sub>N-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-, NC-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-NH-, H<sub>2</sub>N-(C=O)-NH-, or H<sub>2</sub>N-(C=O)-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-;
- and
- R<sup>6</sup> is H, (C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-, (C<sub>6</sub>-C<sub>10</sub>)aryl-(C=O)-, (C<sub>2</sub>-C<sub>9</sub>)heteroaryl-(C=O)-, H<sub>2</sub>N-(C=O)-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-(C=O)-, [(C<sub>1</sub>-C<sub>8</sub>)alkyl]<sub>2</sub>N-(C=O)-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C=O)-, or (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-.

2. The method according to claim 1, wherein the pharmaceutically acceptable form of the compound is a pharmaceutically acceptable salt or prodrug thereof.

3. The method according to claim 1, wherein the stereochemistry of the compound is as depicted in formula Ia and b is 0 or 1 and c is 1:



4. The method according to claim 3, wherein the compound of formula Ia each R<sup>1</sup> is independently H-, HO-, halo, NC-, (C<sub>1</sub>-C<sub>8</sub>)alkyl, or (C<sub>1</sub>-C<sub>8</sub>)alkyl-O-; and a is 1 or 2.
5. The method according to claim 4, wherein the compound of formula Ia R<sup>2</sup> is H- or (C<sub>1</sub>-C<sub>8</sub>)alkyl- and R<sup>3</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl-.
6. The method according to claim 5, wherein the compound of formula Ia X is -O- or -NR<sup>6</sup>- and R<sup>6</sup> is H-.
7. The method according to claim 6, wherein the compound of formula Ia d is 1 or 2, and R<sup>5</sup> is H-, HO-, NC-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-, or halo.
8. The method according to claim 7, wherein the compound of formula Ia R<sup>4</sup> is [HO-(C=O)-][H<sub>2</sub>N-](C<sub>1</sub>-C<sub>8</sub>)alkyl-, [HO-(C=O)-][(C<sub>1</sub>-C<sub>8</sub>)alkyl]NH-](C<sub>1</sub>-C<sub>8</sub>)alkyl-, [HO-(C=O)-][(C<sub>1</sub>-C<sub>8</sub>)alkyl]<sub>2</sub>N-](C<sub>1</sub>-C<sub>8</sub>)alkyl-, [HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl][(C<sub>1</sub>-C<sub>8</sub>)alkyl]N-, [HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl][(C<sub>1</sub>-C<sub>8</sub>)alkyl]N-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, NC-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, H<sub>2</sub>N-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-SO<sub>2</sub>-NH-(C=O)-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, H<sub>2</sub>N-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, NC-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, H<sub>2</sub>N-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-NH-SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-O-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-O-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-

- (C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-S-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-S-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-S-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-S-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-, or (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-.
9. The method according to claim 8, wherein the compound of formula Ia Y is (C<sub>6</sub>-C<sub>10</sub>)aryl.
10. The method according to claim 3, wherein the compound of formula Ia R<sup>4</sup> is [HO-(C=O)-][H<sub>2</sub>N-](C<sub>1</sub>-C<sub>8</sub>)alkyl-, [HO-(C=O)-][(C<sub>1</sub>-C<sub>8</sub>)alkyl]NH-](C<sub>1</sub>-C<sub>8</sub>)alkyl-, [HO-(C=O)-][(C<sub>1</sub>-C<sub>8</sub>)alkyl]<sub>2</sub>N-](C<sub>1</sub>-C<sub>8</sub>)alkyl-, [HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl][(C<sub>1</sub>-C<sub>8</sub>)alkyl]N-, [HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl][(C<sub>1</sub>-C<sub>8</sub>)alkyl]N-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, NC-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, H<sub>2</sub>N-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-SO<sub>2</sub>-NH-(C=O)-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, H<sub>2</sub>N-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, NC-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, H<sub>2</sub>N-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-NH-SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-O-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-O-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-S-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-S-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-

C<sub>9</sub>)heteroaryl-S-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-S-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-, or (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-.

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11. The method according to claim 10, wherein the compound of formula Ia a is 1 or 2;

X is -O- or -NR<sup>6</sup>-;

each R<sup>1</sup> is independently H-, HO-, halo, NC-, (C<sub>1</sub>-C<sub>8</sub>)alkyl, or (C<sub>1</sub>-C<sub>8</sub>)alkyl-O-

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R<sup>2</sup> and R<sup>3</sup> are each independently H-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl-, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)aryl-, (C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, H<sub>2</sub>N-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C=O)-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, H<sub>2</sub>N-(C=O)-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, H<sub>2</sub>N-(C=O)-, or H<sub>2</sub>N-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-; and

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R<sup>5</sup> is H-, HO-, NC-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-, or halo.

12. The method according to claim 11, wherein the compound of formula Ia a is 1 or 2;

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d is 1 or 2;

X is -O-;

Y is (C<sub>6</sub>-C<sub>10</sub>)aryl;

R<sup>1</sup> is halo;

R<sup>2</sup> is H- or (C<sub>1</sub>-C<sub>8</sub>)alkyl-;

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R<sup>3</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl-; and

R<sup>5</sup> is H-, halo, (C<sub>1</sub>-C<sub>8</sub>)alkyl-, or (C<sub>1</sub>-C<sub>8</sub>)alkyl-O-.

13. The method according to claim 12, wherein the compound of formula Ia R<sup>4</sup> is [HO-(C=O)-][H<sub>2</sub>N-](C<sub>1</sub>-C<sub>8</sub>)alkyl-, [HO-(C=O)-][(C<sub>1</sub>-C<sub>8</sub>)alkyl]NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, [HO-(C=O)-][(C<sub>1</sub>-C<sub>8</sub>)alkyl]<sub>2</sub>N-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, H<sub>2</sub>N-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-SO<sub>2</sub>-NH-(C=O)-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C=O)-NH-

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- SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-NH-SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-N=(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-SO<sub>2</sub>-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl substituted with hydroxy-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-O-, or (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-.
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14. The method according to claim 7, wherein the compound of formula Ia Y is pyridyl and R<sup>4</sup> is [HO-(C=O)-][H<sub>2</sub>N-](C<sub>1</sub>-C<sub>8</sub>)alkyl-, [HO-(C=O)-][(C<sub>1</sub>-C<sub>8</sub>)alkyl]NH-](C<sub>1</sub>-C<sub>8</sub>)alkyl-, [HO-(C=O)-][](C<sub>1</sub>-C<sub>8</sub>)alkyl)<sub>2</sub>N-](C<sub>1</sub>-C<sub>8</sub>)alkyl-, [HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl][(C<sub>1</sub>-C<sub>8</sub>)alkyl]N-, [HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl][(C<sub>1</sub>-C<sub>8</sub>)alkyl]N-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, NC-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, H<sub>2</sub>N-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-SO<sub>2</sub>-NH-(C=O)-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, H<sub>2</sub>N-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, NC-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, H<sub>2</sub>N-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-NH-(C=O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-NH-SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>8</sub>)alkyl, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-NH-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-O-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-O-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-O-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-S-, (C<sub>1</sub>-C<sub>9</sub>)heterocyclyl-S-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-S-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-S-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-, HO-
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(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-(C=O)-, HO-(C=O)-(C<sub>1</sub>-C<sub>8</sub>)alkyl-, (C<sub>2</sub>-C<sub>9</sub>)heteroaryl-, (C<sub>2</sub>-C<sub>9</sub>)heterocyclyl-, (C<sub>2</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)alkyl, or (C<sub>2</sub>-C<sub>9</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl ;

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15. The method according to claim 1, wherein the compound of formula I is selected from the group consisting of:

(2-{2-[4-(4-Fluoro-benzyl)-(2R)-2-methyl-piperazin-1-yl]-2-oxo-ethoxy}-5-trifluoromethyl-phenyl)-methanesulfonamide;

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(2-{3-[4-(4-Fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-3-oxo-propyl}-5-methyl-phenoxy)-acetic acid;

(5-Bromo-2-{2-[(2R)-2-ethyl-4-(4-fluoro-benzyl)-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-methanesulfonamide;

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(5-Bromo-2-{2-[4-(4-chloro-benzyl)-(2R)-2-methyl-piperazin-1-yl]-2-oxo-ethoxy}phenyl)methanesulfonamide;

(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-benzyloxy)-acetyl methanesulfonamide;

[(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethylamino}-pyridine-3-carbonyl)-amino]-acetic acid;

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2-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenoxy)-4-methyl-thiazole-5-carboxylic acid;

3-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R)-2-methyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-acrylic acid;

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4-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R)-2-methyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-4-oxo-butyric acid;

5-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenoxy)-5-methyl-pyrimidine-2,4,6-trione;

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6-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenoxy)methyl)-nicotinic acid;

C-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R)-2-methyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-N-(3-hydroxy-3-methyl-butyryl)-methanesulfonamide;

C-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-N-hydroxyacetyl-methanesulfonamide;

N-[(2-{2-[4-(4-Fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-4-methoxy-phenyl)-acetyl]-methanesulfonamide; and

N-[(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-acetyl]-4-fluoro-benzenesulfonamide;

5 or a pharmaceutically acceptable form thereof.

16. The method according to claim 1, wherein the compound of formula I is selected from the group consisting of:

10 (2S)-2-Amino-4-(5-chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenoxy)-butyric acid;

(4S)-4-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenoxy)-pyrrolidine-(2S)-2-carboxylic acid;

(5-Bromo-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-benzylideneaminoxy)-acetic acid;

15 (5-Bromo-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenoxy)-acetic acid;

(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-benzylsulfamoyl)-acetic acid;

20 3-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-acrylic acid;

4-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-4-oxo-butyric acid;

5-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-5-oxo-pentanoic acid;

25 (5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-benzylideneaminoxy)-acetic acid;

6-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenoxy)-nicotinic acid;

30 C-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-N-hydroxyacetyl-methanesulfonamide;

N-[(5-Bromo-2-{2-[4-(4-fluoro-benzyl)-(2R)-2-methyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-acetyl]-methanesulfonamide;

N-[(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R)-2-methyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-acetyl]-methanesulfonamide;

N-[(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-acetyl]-methanesulfonamide; and

N-[(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-pyridin-3-yl)-acetyl]-methanesulfonamide;

5 or a pharmaceutically acceptable form thereof.

17. The method according to claim 1, wherein the compound of formula I is selected from the group consisting of:

(2R)-2-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R)-2-methyl-piperazin-1-yl]-2-oxo-ethoxy}-phenoxy)-propionic acid;

(4S)-4-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenoxy)-pyrrolidine-2-carboxylic acid;

(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenylsulfamoyl)-acetic acid;

15 4-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-4-hydroxy-butyric acid;

4-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenoxy)-pyridine-2-carboxylic acid;

20 4-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-but-3-enoic acid;

4-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-4-hydroxy-but-3-enoic acid;

N-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-pyridin-3-yl)-succinamic acid;

25 N-[(5-Bromo-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-acetyl]-methanesulfonamide;

N-[(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-acetyl]-sulfamide;

30 N-Acetyl-C-(5-bromo-2-{2-[4-(4-chloro-benzyl)-(2R)-2-methyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-methanesulfonamide;

N-Acetyl-C-(5-chloro-2-{2-[(2R)-2-ethyl-4-(4-fluoro-benzyl)-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-methanesulfonamide;

N-Acetyl-C-(5-chloro-2-{2-[4-(4-chloro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-methanesulfonamide; and

Propane-1-sulfonic acid [(5-chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-acetyl]-amide;  
or a pharmaceutically acceptable form thereof.

- 5     18.     The method according to claim 1, wherein the compound is administered as a composition comprising the compound of formula I or Ia and a pharmaceutically acceptable carrier.
- 10     19.     The method according to claim 18, wherein the disorder or condition is selected from the group consisting of pulmonary fibrosis, fibrosis associated with end-stage renal disease, fibrosis caused by radiation, tubulointerstitial fibrosis, subepithelial fibrosis, scleroderma, hepatic fibrosis, primary and secondary biliary cirrhosis, obesity, cachexia, anorexia, type II diabetes, hyperlipidemia and hypergonadism, sequelae associated with multiple myeloma, breast cancer, joint
- 15     tissue damage, hyperplasia, pannus formation and bone resorption, hepatic failure, Kawasaki syndrome, myocardial infarction, acute liver failure, septic shock, congestive heart failure, pulmonary emphysema or dyspnea associated therewith, viral induced encephalomyelitis or demyelination, gastrointestinal inflammation, bacterial meningitis, cytomegalovirus, adenoviruses, Herpes viruses, fungal
- 20     meningitis, lyme disease, and malaria.